```
C:\Program Files\Stnexp\Queries\10724179.str
```

```
ring/chain nodes :
   8 9 10
chain bonds :
   1-8 10-11 11-12 12-13 13-14
ring/chain bonds :
   8-9 9-10
ring bonds :
   1-2 1-7 ,2-3 3-4 4-5 5-6 6-7
exact/norm bonds :
   1-2 1-7 1-8 2-3 3-4 4-5 5-6 6-7 8-9 9-10 10-11 12-13 13-14
exact bonds :
   11-12
G1:C,O
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
   11:CLASS 12:CLASS 13:CLASS 14:Atom
Generic attributes :
   14:
                        : Unsaturated
   Saturation
   Type of Ring System : Monocyclic
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chain nodes :

ring nodes :

11 12 13 14

1 2 3 4 5 6 7

=> d his

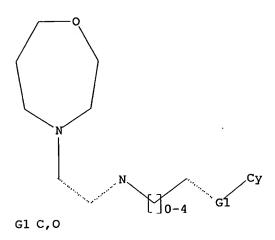
(FILE 'HOME' ENTERED AT 15:11:38 ON 04 MAY 2006)

FILE 'REGISTRY' ENTERED AT 15:11:43 ON 04 MAY 2006
L1 STRUCTURE UPLOADED
L2 3 S L1
L3 226 S L1 SSS FUL
L4 192 S L3 AND 6-6-7/SZ
L5 34 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 15:13:34 ON 04 MAY 2006 L6 11 S L4

=> d l1 L1 HAS NO ANSWERS

L1 HAS NO ANSWERS



Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

L6 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:971921 CAPLUS

DOCUMENT NUMBER: 140:19879

TITLE: Drug compositions containing calcium channel

antagonists exhibiting intestinal tract selectivity

INVENTOR(S): Hashimoto, Masaki; Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
WO 2003101490					A1		20031211		WO 2003-JP6847						20030530			
	W:	AE.	AG.	AL.	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO.	CR.	CU.	CZ.	DE.	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM.	HR.	HU.	ID.	IL.	IN,	IS.	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS.	T.T.	t.U.	I.V.	MA.	MD,	MG.	MK.	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
		PH.	PT.	PT.	RO.	RU.	sc,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	
		Т7.	IIA.	UG.	US.	UZ.	VC,	VN.	YU,	ZA,	ZM,	ZW						
	• WG	CH,	GM.	KE.	LS.	MW.	MZ,	SD.	SL.	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
	100.	KC,	K7.	MD.	BU.	TJ.	TM,	AT.	BE.	BG.	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FT	FR.	GB	GR.	HU.	IE,	IT.	LU.	MC.	NL.	PT,	RO,	SE,	SI,	SK,	TR,	
		BF	B.T	CF,	CG.	CT.	CM.	GA.	GN.	GO.	GW.	ML.	MR,	NE,	SN,	TD,	TG	
σт						<b>U L ,</b>	CI, CM, GA, GN, GQ, GW, ML, MR, NE 20051215 JP 2002-160187							•	20020531			
AU 2003241991								AU 2003-241991				20030530						
PRIORITY APPLN. INFO.:				7.1						002-				A 2	0020	531		
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									_									

# OTHER SOURCE(S): MARPAT 140:19879

Disclosed are a drug composition comprising a calcium channel antagonist exhibiting intestinal tract selectivity that is used for treating Alzheimer disease, mental illness, adolescent insanity, manic depression, migraine, lactation disorder, dementia, autism, hypertension, glaucoma, pain, thromboembolism, arrhythmia, epilepsy or obesity; and a serotonin liberation inhibitor comprising a calcium channel antagonist exhibiting intestinal tract selectivity. A compound (R)-3-chloro-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)pyrrolidine-2-ylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (I) was prepared, and its effect on serotonin liberation in isolated mouse ileum was tested. A tablet containing I 50 mg/tablet was also formulated.

### IT 477778-65-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(medicinal compns. containing calcium channel antagonists exhibiting intestinal tract selectivity)

RN 477778-65-7 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CAINDEX NAME)

```
477778-53-3P 477778-55-5P 477778-57-7P
    477778-61-3P 477778-63-5P 477778-69-1P
    477778-71-5P 477778-73-7P 477778-75-9P
    477778-77-1P 477778-79-3P 477778-81-7P
     477778-83-9P 477778-85-1P 477778-91-9P
     477778-93-1P 477778-95-3P 477778-97-5P
    630095-00-0P 630095-01-1P 630095-02-2P
    630095-03-3P 630095-04-4P 630095-05-5P
    630095-06-6P 630095-07-7P 630095-08-8P
     630095-09-9P 630095-10-2P 630095-11-3P
     630095-13-5P 630095-14-6P 630095-15-7P
     630095-16-8P 630095-17-9P 630095-18-0P
     630095-19-1P
    RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (medicinal compns. containing calcium channel antagonists exhibiting
        intestinal tract selectivity)
     477778-53-3 CAPLUS
RN
     Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-
CN
     methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI)
     INDEX NAME)
```

RN 477778-55-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl], monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-57-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 477778-61-3 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-63-5 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CFINDEX NAME)

477778-69-1 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-71-5 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

477778-73-7 CAPLUS RN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN

pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-75-9 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-77-1 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-79-3 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

477778-81-7 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)CN

Absolute stereochemistry.

●2 HCl

RN

477778-83-9 CAPLUS
Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

10/724,179

# ●2 HCl

RN 477778-85-1 CAPLUS
CN Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# ●2 HCl

RN 477778-91-9 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 477778-93-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# •2 HCl

RN 477778-95-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CAINDEX NAME)

●2 HCl

RN 477778-97-5 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 630095-00-0 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CPINDEX NAME)

RN 630095-01-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 7-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 630095-02-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(3-pyridinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 630095-03-3 CAPLUS

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(5-pyrimidinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

630095-04-4 CAPLUS RN

Pyrido[2,3-b][4,1]benzoxazepine, 6,11-dihydro-11-[[(2R)-1-[2-[5-(1-pyrrolidinyl)pyrazinyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX CNNAME)

630095-05-5 CAPLUS RN

Pyrido[3,2-c][1,5]benzoxazepine, 8-fluoro-5,11-dihydro-11-[[(2R)-1-[2-(6-methoxy-3-pyridazinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX CN NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

630095-06-6 CAPLUS RN

Pyrido[2,3-b][4,1]benzoxazepine, 9-chloro-6,11-dihydro-11-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN

630095-07-7 CAPLUS
Benzenamine, 4-[2-[(2R)-2-[(3-chloropyrido[2,3-c][1,5]benzoxazepin-5(11H)yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

630095-08-8 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[(3R)-1-[2-(3-pyridinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

630095-09-9 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 8-chloro-5,11-dihydro-5-[(3R)-1-[2-(5-pyrimidinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

630095-10-2 CAPLUS RN

Pyrido[2,3-b][4,1]benzoxazepine, 8-fluoro-6,11-dihydro-11-[(3R)-1-(2-pyrazinylethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

630095-11-3 CAPLUS RN

Pyrido[3,2-c][1,5]benzoxazepine, 8-fluoro-5,11-dihydro-11-[(3R)-1-[2-(5-methoxy-3-pyridazinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

630095-13-5 CAPLUS RN

Pyrido[2,3-c][1,5]benzoxazepine, 3-chloro-5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

630095-14-6 CAPLUS RN

Pyrido[2,3-b][4,1]benzoxazepine-11(6H)-ethanamine, N-methyl-N-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN

630095-15-7 CAPLUS
Pyrido[2,3-c][1,5]benzoxazepine-5(11H)-ethanamine, 3-fluoro-N-[2-(3-methoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME) CN

RN 630095-16-8 CAPLUS
CN Pyrido[3,2-c][1,5]benzoxazepine-11(5H)-ethanamine, N-methyl-N-[2-[5-(1-pyrrolidinyl)-2-pyrimidinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

630095-17-9 CAPLUS RN CN

Pyrido[3,2-c][1,5]benzoxazepine-11(5H)-ethanamine, 9-fluoro-N-[2-(2methoxy-4-pyridinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

630095-18-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, 3-chloro-N-[2-(5-methoxypyrazinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME) CN

630095-19-1 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[6-(dimethylamino)-3-CN pyridinyl]ethyl]-7-fluoro-N-methyl- (9CI) (CA INDEX NAME)

### 477778-66-8 ΙT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medicinal compns. containing calcium channel antagonists exhibiting intestinal tract selectivity)

RN 477778-66-8 CAPLUS

Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-CN

yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

```
477778-54-4P 477778-56-6P 477778-58-8P
IT
     477778-62-4P 477778-64-6P 477778-68-0P
     477778-70-4P 477778-72-6P 477778-74-8P
     477778-76-0P 477778-78-2P 477778-80-6P
     477778-82-8P 477778-84-0P 477778-86-2P
     477778-88-4P 477778-92-0P 477778-96-4P
     477778-98-6P 477779-17-2P 477779-22-9P
     630095-20-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of calcium channel antagonists exhibiting intestinal tract
        selectivity)
     477778-54-4 CAPLUS
RN
     Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-
CN
     methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)
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RN 477778-56-6 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl] (9CI) (CA INDEX NAME)

- -

Absolute stereochemistry.

RN 477778-58-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

10/724,179

477778-62-4 CAPLUS RN

Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN

477778-64-6 CAPLUS
Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-CN yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

477778-68-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 477778-70-4 CAPLUS

Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

477778-72-6 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-74-8 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

477778-76-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-78-2 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

477778-80-6 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

نهايم

Absolute stereochemistry.

RN

477778-82-8 CAPLUS Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)CN

477778-84-0 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-86-2 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-CN pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 477778-88-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-92-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

477778-96-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-98-6 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-CN pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

RN 477779-17-2 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5-[[(2R)-1-[[4-(dimethylamino)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]-5,11-dihydro-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 477779-22-9 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[[4-(1-pyrrolidinyl)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 630095-20-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

98

REFERENCE COUNT:

THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 36

```
2003:971920 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                                 140:19878
                                 Medicinal compositions containing defined calcium
TITLE:
                                 channel antagonists for treatment for digestive tract
                                 Yamada, Youji; Takahashi, Kazuyoshi; Hashimoto, Masaki
INVENTOR(S):
                                 Ajinomoto Co., Inc., Japan
PATENT ASSIGNEE(S):
                                 PCT Int. Appl., 118 pp.
SOURCE:
                                 CODEN: PIXXD2
DOCUMENT TYPE:
                                 Patent
                                 Japanese
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                        APPLICATION NO.
                                 KIND
                                          DATE
       PATENT NO.
                                                                                         _____
                                                          _____
                                 ____
                                          _____
                                          20031211 WO 2003-JP6845
                                                                                        20030530
           2003101489
A1 20031211 WO 2003-JP6845 20030530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 2005343791
A2 20051215 JP 2002-160188 20020531
                                  A1
       WO 2003101489
                                                                                          20020531
                                  A2 20051215
                                                       JP 2002-160188
       JP 2005343791
                                                                                          20030530
                                                          AU 2003-241987
                                   A1
                                           20031219
       AU 2003241987
                                                           JP 2002-160188
                                                                                     A 20020531
 PRIORITY APPLN. INFO.:
                                                           WO 2003-JP6845
                                                                                     W 20030530
                                 MARPAT 140:19878
 OTHER SOURCE(S):
       Disclosed is a medicinal composition containing defined calcium channel
 AB
 antagonist,
       e.g. 5,11-dihydrodiaryl[b,e][1,4]oxazepine derivative, 5,11-
       dihydrodibenzo[b,e][1,4]thiazepine derivative, etc., having a selectivity to
       digestive tract. The composition is used for treatments for diseases
       accompanied by an organic change of the digestive tract. A compound
       (R)-3-chloro-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)pyrrolidine-2-
       ylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (I) was prepared, and
       its calcium channel blocking effect on isolated colon and ileum membranes.
       A tablet containing I 50 mg/tablet was also formulated.
       477778-65-7P
 IT
       RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
        (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
            (medicinal compns. containing defined calcium channel antagonists for
           treatment for digestive tract disease)
        477778-65-7 CAPLUS
 RN
       Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-
 CN
        yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI)
        INDEX NAME)
```

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

10/724,179

IT 477778-54-4P 630095-20-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(medicinal compns. containing defined calcium channel antagonists for treatment for digestive tract disease)

RN 477778-54-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 630095-20-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

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477778-53-3P 477778-55-5P 477778-57-7P
IT
     477778-61-3P 477778-63-5P 477778-69-1P
     477778-71-5P 477778-73-7P 477778-75-9P
     477778-77-1P 477778-79-3P 477778-81-7P
     477778-83-9P 477778-85-1P 477778-91-9P
     477778-93-1P 477778-95-3P 477778-97-5P
     630095-00-0P 630095-01-1P 630095-02-2P
     630095-03-3P 630095-04-4P 630095-05-5P
     630095-06-6P 630095-07-7P 630095-08-8P
     630095-09-9P 630095-10-2P 630095-11-3P
     630095-13-5P 630095-14-6P 630095-15-7P
     630095-16-8P 630095-17-9P 630095-19-1P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (medicinal compns. containing defined calcium channel antagonists for
        treatment for digestive tract disease)
     477778-53-3 CAPLUS
RN
     Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-
CN
     methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI)
     INDEX NAME)
```

477778-55-5 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-CN methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl], monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-57-7 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-CN methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 477778-61-3 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 477778-63-5 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CPINDEX NAME)

RN 477778-69-1 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)

Absolute stereochemistry.

(CA INDEX NAME)

RN 477778-71-5 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 477778-73-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-75-9 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-77-1 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 47778-79-3 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

10/724,179

477778-81-7 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-CN pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

### ●2 HCl

RN

477778-83-9 CAPLUS
Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-CN pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

# ●2 HCl

RN 477778-85-1 CAPLUS
CN Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# ●2 HCl

RN 477778-91-9 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 477778-93-1 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# ●2 HCl

RN 477778-95-3 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 477778-97-5 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 630095-00-0 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

630095-01-1 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 7-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-CN methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

630095-02-2 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(3pyridinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

630095-03-3 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(5-pyrimidinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

630095-04-4 CAPLUS RN

Pyrido[2,3-b][4,1]benzoxazepine, 6,11-dihydro-11-[[(2R)-1-[2-[5-(1-pyrrolidinyl)pyrazinyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX CN NAME)

RN

630095-05-5 CAPLUS

Pyrido[3,2-c][1,5]benzoxazepine, 8-fluoro-5,11-dihydro-11-[[(2R)-1-[2-(6-methoxy-3-pyridazinyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX CN NAME)

Absolute stereochemistry.

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630095-06-6 CAPLUS RN

Pyrido[2,3-b][4,1]benzoxazepine, 9-chloro-6,11-dihydro-11-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN

630095-07-7 CAPLUS
Benzenamine, 4-[2-[(2R)-2-[(3-chloropyrido[2,3-c][1,5]benzoxazepin-5(11H)-CN yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

630095-08-8 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[(3R)-1-[2-(3-pyridinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

630095-09-9 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 8-chloro-5,11-dihydro-5-[(3R)-1-[2-(5-CN pyrimidinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

630095-10-2 CAPLUS RN

Pyrido[2,3-b][4,1]benzoxazepine, 8-fluoro-6,11-dihydro-11-[(3R)-1-(2-pyrazinylethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

630095-11-3 CAPLUS RN

Pyrido[3,2-c][1,5]benzoxazepine, 8-fluoro-5,11-dihydro-11-[(3R)-1-[2-(5methoxy-3-pyridazinyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

630095-13-5 CAPLUS RN

Pyrido[2,3-c][1,5]benzoxazepine, 3-chloro-5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

630095-14-6 CAPLUS RN

Pyrido[2,3-b][4,1]benzoxazepine-11(6H)-ethanamine, N-methyl-N-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

N

RN 630095-15-7 CAPLUS

Pyrido[2,3-c][1,5]benzoxazepine-5(11H)-ethanamine, 3-fluoro-N-[2-(3-methoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

CN

RN 630095-16-8 CAPLUS
CN Pyrido[3,2-c][1,5]benzoxazepine-11(5H)-ethanamine, N-methyl-N-[2-[5-(1-pyrrolidinyl)-2-pyrimidinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

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PAGE 2-A

630095-17-9 CAPLUS RN

Pyrido[3,2-c][1,5]benzoxazepine-11(5H)-ethanamine, 9-fluoro-N-[2-(2-CN methoxy-4-pyridinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

630095-19-1 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[6-(dimethylamino)-3-CN pyridinyl]ethyl]-7-fluoro-N-methyl- (9CI) (CA INDEX NAME)

IT 477778-66-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medicinal compns. containing defined calcium channel antagonists for treatment for digestive tract disease)

RN 477778-66-8 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 630095-18-0P

```
treatment for digestive tract disease)

RN 630095-18-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, 3-chloro-N-[2-(5-methoxypyrazinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)
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477778-56-6P 477778-58-8P 477778-62-4P
IT
     477778-64-6P 477778-68-0P 477778-70-4P
     477778-72-6P 477778-74-8P 477778-76-0P
     477778-78-2P 477778-80-6P 477778-82-8P
     477778-84-0P 477778-86-2P 477778-88-4P
     477778-92-0P 477778-96-4P 477778-98-6P
     477779-17-2P 477779-22-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of medicinal compns. containing defined calcium channel
antagonists
        for treatment for digestive tract disease)
     477778-56-6 CAPLUS
RN
     Dibenz[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-
CN
     methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)
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RN 477778-58-8 CAPLUS

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl] (9CI) (CA INDEX NAME)

- 34

Absolute stereochemistry.

RN

477778-62-4 CAPLUS

Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

10/724,179

RN 477778-64-6 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-68-0 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

477778-70-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-72-6 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

477778-74-8 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-76-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

477778-78-2 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-80-6 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-CN morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

477778-82-8 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN

477778-84-0 CAPLUS Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)CN

477778-86-2 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-88-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

RN 477778-92-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-96-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

477778-98-6 CAPLUS RN

CN pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

477779-17-2 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5-[[(2R)-1-[[4-CN (dimethylamino)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]-5,11-dihydro- (9CI) (CA INDEX NAME)

477779-22-9 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[[4-(1-CN pyrrolidinyl)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

### IT 477778-94-2P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of medicinal compns. containing defined calcium channel antagonists

for treatment for digestive tract disease)

RN 477778-94-2 CAPLUS

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

47

REFERENCE COUNT:

THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN 2002:927415 CAPLUS ACCESSION NUMBER: 138:14080 DOCUMENT NUMBER: Preparation of dihydrodiaryloxazepine derivatives for TITLE: treatment of functional digestive tract diseases Sakata, Katsutoshi; Tsuji, Takashi; Tokumasu, INVENTOR(S): Munetaka; Takahashi, Kazuyoshi; Hirasawa, Shigeo; Ezaki, Junko Ajinomoto Co., Inc., Japan PATENT ASSIGNATION: PCT Int. Appl., 116 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent Japanese LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE \_\_\_\_\_ WO 2002-JP5193 20020529 WO 2002096891 A1 20021205 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PI, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 2002-730742 20020529 20040331 EP 1403258 A1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

20040610

US 2003-724179

JP 2001-161988

WO 2002-JP5193

20031201

20010530

20020529

Α

OTHER SOURCE(S): MARPAT 138:14080

us 2004110742

PRIORITY APPLN. INFO .:

The title compds. I [ring G, J, K = benzene ring or N-containing aromatic ring; AB R1 - R8 = halo, H; R9 - R13 = H, halo, cyano, etc.; A = CH2, etc.; B = CO, etc.; or AB = CH:CH; D = CH2, etc.; or  $\overline{BD}$  = CH2; XZ = CH2CH2, CH2CH2CH2, and Y = H; or YZ = CH2CH2CH2, CH2CH2CH2CH2, and X = H; further detail on X, Y, Z is given; a proviso is given] are prepared Compds. of this invention are calcium channel antagonists with selectivity for the intestinal tract (IC50 values of 5.6 nM to 82.5 nM) and are useful in the treatment of functional digestive tract diseases. Formulations are given. 195991-49-2P 195991-50-5P 477778-53-3P TT 477778-54-4P 477778-55-5P 477778-56-6P 477778-57-7P 477778-58-8P 477778-61-3P 477778-62-4P 477778-63-5P 477778-64-6P 477778-65-7P 477778-66-8P 477778-67-9P 477778-68-0P 477778-69-1P 477778-70-4P 477778-71-5P 477778-72-6P 477778-73-7P 477778-74-8P 477778-75-9P 477778-76-0P 477778-77-1P 477778-78-2P 477778-79-3P 477778-80-6P 477778-81-7P 477778-82-8P 477778-83-9P 477778-84-0P 477778-85-1P 477778-86-2P 477778-87-3P 477778-88-4P 477778-89-5P 477778-90-8P 477778-91-9P 477778-92-0P 477778-93-1P 477778-94-2P 477778-95-3P 477778-96-4P 477778-97-5P 477778-98-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of dihydrodiaryloxazepine derivs. for treatment of functional digestive tract diseases) RN 195991-49-2 CAPLUS Dibenz[b,e][1,4] oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-CN methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Ι

Absolute stereochemistry. Rotation (+).

RN 195991-50-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 477778-53-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

477778-54-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-55-5 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) CNINDEX NAME)

477778-56-6 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-CN methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-57-7 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) INDEX NAME)

10/724,179

477778-58-8 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN

477778-61-3 CAPLUS

Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

RN

477778-62-4 CAPLUS
Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-CN yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-63-5 CAPLUS RN

Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-1]]CN yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

RN 47778-64-6 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-[(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-65-7 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

RN

477778-66-8 CAPLUS
Benzenamine, 4-[2-[(2R)-2-[(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-67-9 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 477778-68-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-69-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-70-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

477778-71-5 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-72-6 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 7-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-73-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI)

## 10/724,179

## (CA INDEX NAME)

Absolute stereochemistry.

477778-74-8 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 8-fluoro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN477778-75-9 CAPLUS

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-CN

pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

477778-76-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-77-1 CAPLUS RN

10/724,179

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 477778-78-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-79-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 477778-80-6 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[[(2R)-1-[2-[4-(4-morpholinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-81-7 CAPLUS

CN Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN

477778-82-8 CAPLUS
Benzenamine, 4-[2-[(3R)-3-(2-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-83-9 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-CN pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN

477778-84-0 CAPLUS
Benzenamine, 4-[2-[(3R)-3-(3-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-85-1 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-(3-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)-1-pyrrolidinyl]=N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)CN

## ●2 HCl

RN

 $\begin{array}{lll} 477778-86-2 & \text{CAPLUS} \\ \text{Benzenamine, } 4-[2-[(3R)-3-(3-\text{chlorodibenz}[b,e][1,4] \text{oxazepin-5}(11H)-y1)-1-pyrrolidinyl]=thyl]-N,N-dimethyl- (9CI) & (CA INDEX NAME) \\ \end{array}$ CN

Absolute stereochemistry.

477778-87-3 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA CN INDEX NAME)

## ●2 HC1

RN 477778-88-4 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-fluoro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrol'idinyl)phenyl]ethyl]-3-pyrrolidinyl]-| (9CF) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-89-5 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

477778-90-8 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 3-chloro-5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-91-9 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-CN pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

477778-92-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-93-1 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA CNINDEX NAME)

●2 HC1

RN 477778-94-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[4-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477778-95-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

# ●2 HCl

477778-96-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

477778-97-5 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-CNpyrrolidinyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

RN 477778-98-6 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-methyl-N-[2-[3-(1-pyrrolidinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

(CA INDEX NAME)

Absolute stereochemistry.

477779-22-9 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 2-fluoro-5,11-dihydro-5-[[(2R)-1-[[4-(1-pyrrolidinyl)phenyl]acetyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX CN NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS 13 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:465986 CAPLUS

DOCUMENT NUMBER: 137:33327

474

TITLE: Process for preparing crystals of oxazepine

derivatives

INVENTOR(S): Matsuzawa, Toshihiro; Sekiyama, Takaaki; Yatagai,

· · · · ·

Masanobu

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATEM	1 1	NEOR	MATT	ON.														
	PATENT NO.			KIND DATE			APPLICATION NO.					DATE						
	uo 2002048120			Δ1 20020620			WO 2000-JP8739											
	WO	7002	AE.	20 20	ΔT.	ΔM.	AΤ.	AU.	AZ.	BA.	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		ν.	CD,	CII	CZ	DE.	DK.	DM.	DZ.	EE.	ES,	FI.	GB,	GD,	GE,	GH,	GM,	HR,
			un	TD	TT.	TN.	TS.	JP.	KE.	KG.	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
			TIT	T 1/	MΔ	MD	MG.	MK.	MN.	MW.	MX.	MZ.	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE.	SG.	ST.	SK.	ST	TJ.	TM.	TR,	TT.	TZ,	UA,	UG,	US,	UZ,	VN,
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	!	DW.	GH	GM	KE.	LS.	MW.	MZ.	SD.	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		1/14 •	DE.	DK.	ES.	FT.	FR.	GB.	GR.	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			р.т	CF	CG	CT.	CM.	GA.	GN.	GW.	ML.	MR,	ΝE,	SN,	TD,	TG		
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RN	43	7703-	-60-1	(7)	PLUS													
CN	Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[1-[2-(4-methoxyphenyl)ethyl]-3-																	
	ni	perio	linvl	L] - (	9CI)	(C	A I	1DEX	NAME	;)								

IT 437703-58-7P

RL: BYP (Byproduct); PREP (Preparation) (process for preparing crystals of oxazepine derivs.)

RN 437703-58-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3S)-1-[2-(4-methoxyphenyl)ethyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 195991-49-2P 195991-50-5P 313048-22-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (process for preparing crystals of oxazepine derivs.)

RN 195991-49-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 195991-50-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 313048-22-5 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 195991-49-2 CMF C27 H30 N2 O2

Absolute stereochemistry. Rotation (+).

2 CM

7697-37-2 CRN CMF н и оз

437703-61-2P 437703-62-3P 437703-63-4P IT

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(process for preparing crystals of oxazepine derivs.)

437703-61-2 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-CN pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \hline \\ \text{CH}_2 - \text{CH}_2 - \text{N} \\ \end{array}$$

437703-62-3 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-CN pyrrolidinyl]methyl]-, mononitrate (9CI) (CA INDEX NAME)

CM

437703-61-2 CRN C27 H30 N2 O2 CMF

$$\begin{array}{c} \text{MeO} \\ \text{CH}_2 - \text{CH}_2 - \text{N} \end{array}$$

10/724,179

CM

CRN 7697-37-2 CMF H N O3

437703-63-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME) CN

$$\begin{array}{c} \text{MeO} \\ \\ \text{CH}_2\text{-CH}_2\text{-N} \end{array}$$

HCl

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS 1 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

2001:185738 CAPLUS ACCESSION NUMBER:

134:222732 DOCUMENT NUMBER:

Novel processes for preparing oxazepine derivatives TITLE:

via cyclization of 2-(2-bromobenzyloxy)aniline

derivative

Sekiyama, Takaaki; Matsuzawa, Toshihiro; Yamamoto, INVENTOR(S):

Takashi; Yatagai, Masanobu; Ezaki, Junko

Ajinomoto Co., Inc., Japan PATENT ASSIGNEE(S):

PCT Int. Appl., 26 pp. SOURCE:

CODEN: PIXXD2 Patent DOCUMENT TYPE: Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE					
wo 2001017980	A1 20010315	WO 2000-JP5967	20000901					
W. AE. AG. AL.	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,					
CR. CU. CZ.	DE, DK, DM, DZ,	EE, ES, FI, GB, GD,	GE, GH, GM, HR,					
HU. ID. IL.	IN, IS, JP, KE,	KG, KP, KR, KZ, LC,	LK, LR, LS, LT,					
T.II. T.V. MA.	MD, MG, MK, MN,	MW, MX, MZ, NO, NZ,	PL, PT, RO, RU,					
SD. SE. SG.	SI. SK. SL. TJ.	TM, TR, TT, TZ, UA,	UG, US, UZ, VN,					
YII. 7.A. 7.W.	AM. AZ. BY. KG.	KZ, MD, RU, TJ, TM						
PW CH CM KE.	I.S. MW. MZ. SD.	SL, SZ, TZ, UG, ZW,	AT, BE, CH, CY,					
DE. DK. ES.	FI, FR, GB, GR,	IE, IT, LU, MC, NL,	PT, SE, BF, BJ,					
CE CC CT	CM GA GN GW.	ML, MR, NE, SN, TD,	TG					
AII 2000068689	A5 20010410	AU 2000-68689	20000901					
EP 1219611	A1 20020703	EP 2000-956884	20000901					
R: AT. BE. CH.	DE. DK. ES. FR.	GB, GR, IT, LI, LU,	NL, SE, MC, PT,					
ידו דף קד ד.ידי	TV FT RO. MK.	CY, AL						
us 2002133004	A1 20020919	us 2002-86781	20020304					
PRIORITY APPLN. INFO.:		JР 1999-250298	A 19990903					
INIONIII IMIBNI INIOII		WO 2000-JP5967	W 20000901					
OTHER SOURCE(S): CASREACT 134:222732; MARPAT 134:222732								

OTHER SOURCE(S): GI

Industrially advantageous processes for preparing 5-substituted-5,11-AB dihydrodibenz[b,e][1,4]oxazepine derivs. (I; Z = CH2: Y1 = H; Y2 = H, lower alkyl; or Y1 and Y2 together represent (CH2)3 or (CH2)4; Y3 = CH2, CH2CH2; R1 - R5 = H, halo, lower alkyl, HO, lower alkoxy, NH2, lower alkylamino; or R1 and R2, R2 and R3, R3 and R4, or R4 and R5 together represents OCH2O) through intramol. arylation and reduction from [2-(2-bromobenzyloxy)phenyl]amide derivs. bearing via amide linkage substituents to be introduced at the 5-position are described. More particularly, a process comprises subjecting (R)-1-[(4methoxyphenyl)acetyl]pyrrolidine-2-carboxylic [2-(2bromobenzyloxy)phenyl]amide (II; Y1- Y3, R1-R5 = same as above) to intramol. arylation to form (R)-[[2-(5,11-dihydrodibenz[b,e][1,4]oxazepine-5-carbonyl)pyrrolidin]-1-yl]-2-(4-methoxyphenyl)ethanone I (Z = CO; Y1-Y3, R1-R5 = same as above) and then reducing the obtained compound The compds. I possesses calcium channel antagonism and are useful for the prevention or treatment of digestive tract motility disorders, in particular intestinal disorders such as irritable bowel syndrome. (R)-1-[(4-methoxyphenyl)acetyl]pyrrolidine-2-carboxylic acid [2-(2-bromobenzyloxy)phenyl]amide (preparation given) (1.69 kg), 23.0 g CuBr, and 443 g K2CO3 were added to 4.19 L pyridine and heated under reflux for 100 h to give, after workup and silica gel chromatog., 1.35 kg (R)-[2-[(5,11-dihydrodibenzo[b,e][1,4]oxazepin-5-yl)carbonyl]pyrrolidin-1yl]-2-(4-methoxyphenyl)ethanone (95% yield). A solution of the latter compound (1.35 kg) in 2.69 L THF was added to a cooled (5°) suspension of 400 g NaBH4 in 19.77 L THF, treated dropwise with 1.97 kg BF3-THF complex at ≤10°, stirred at 5° for 1 h and 40° for 14 h, cooled at 5°, treated dropwise with 13.6 L 1.5 M aqueous NaOH, and stirred at 60° for 2 h. The reaction mixture was extracted with 8.1 L  $\,$ PhMe and the organic layer was concentrated in vacuo to .apprx.7.5 L, washed with water three times, warmed at 30°, treated dropwise with 941  ${ t L}$  4  ${ t M}$ HCl/EtOAc, stirred at 5° overnight, and filtered to collect precipitated crystals which ere recrystd. from 2-propanol to give 1.00 kg (R)-(+)-5, 11-dihydro-5-[1-(4-methoxyphenethyl)-2pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine hydrochloride (73%). ΙT 329329-18-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (novel processes for preparing oxazepine derivs. via cyclization of (bromobenzyloxy) aniline derivative) 329329-18-2 CAPLUS RN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[(4-CN

methoxyphenyl)acetyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

195991-50-5P IT

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(novel processes for preparing oxazepine derivs. via cyclization of (bromobenzyloxy) aniline derivative)

195991-50-5 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-CN methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS 7 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 10/724,179

ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:889402 CAPLUS

DOCUMENT NUMBER: 134:42148

TITLE: Preparation of crystals of oxazepines for treatment of

irritable colon syndrome

INVENTOR(S): Matsusawa, Toshihiro; Sekiyama, Takaaki; Yatagai,

Masanobu

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000351778 PRIORITY APPLN. INFO.:	A2	20001219	JP 1999-162760 JP 1999-162760	19990609 19990609
OTHER SOURCE(S):  AB 5,11-Dihydro-5-[1-4]  ,4] oxazepine nitratetc. (no data), is	4-meth e (I), prepar	useful trea ed by reacti	8 )-2-pyrrolidinylmethyl tment of irritable col on of 5,11-	<pre>]dibenzo[b,e][] on syndrome,</pre>
mixts. containing of pyrrolidinylmethyl	oxyphen optical dibenz [2-(4-m	ethyl)piperi ly active 5, o[b,e][1,4]o ethoxyphenyl	dine, reaction of the 11-dihydro-5-[1-(4-met	:hoxyphenetny1)

yl]dibenzo[b,e][1,4]oxazepine (III) in HNO3 in solvents, and isolation of I by crystallization  $5.11-Dihydrodibenzo[b,e][1,4]oxazepine was reacted with (S)-(+)-3-chloro-1-(4-methoxyphenethyl)piperidine in the presence of NaH in DMSO at <math>50^{\circ}$  for 5 h to give 7.3:1 mixture of (R)-(+)-II and (S)-III, which was reacted with HNO3 in EtOH at room temperature overnight to

1

-2-

give 43.7% (R)-I.

IT 195991-49-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of crystals of oxazepines by condensation of benzooxazepine with chloropiperidine and reaction with nitric acid)

RN 195991-49-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 313048-22-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystals of oxazepines by condensation of benzooxazepine with chloropiperidine and reaction with nitric acid)

RN 313048-22-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 195991-49-2 CMF C27 H30 N2 O2

Absolute stereochemistry. Rotation (+).

CM 2

CRN 7697-37-2 CMF H N O3

IT 195991-50-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of crystals of oxazepines by condensation of benzooxazepine with chloropiperidine and reaction with nitric acid)

RN 195991-50-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10//124,179

ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:475653 CAPLUS

DOCUMENT NUMBER: 133:89556

TITLE: Preparation of oxazepine derivatives and drugs

containing the same

INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko;

- 365

Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE			APPLICATION NO.						DATE		
WO.	WO 2000040570				A1 2000071			0713	WO 2000-JP71						20000111			
	W:	AE.	AT.	AM.	AT.	AU.	AZ.	BA.	BB,	BG,	, BR,	BY,	CA,	CH,	CN,	CR,	CU,	
		C 7.	DE.	DK.	DM.	EE.	ES.	FI.	GB.	GD.	, GE,	GH,	GM,	HR,	HU,	TD,	111,	
		TN.	IS.	JP.	KE.	KG,	KP,	KR,	KZ,	LC,	, LK,	LR,	LS,	LT,	LU,	LV,	MA,	
		MD	MG	MK.	MN.	MW.	MX.	NO.	NZ.	PL	PT,	RO,	RU,	SD,	SE,	SG,	SI,	
		CV	CT	T.T	TМ	TP.	ጥጥ .	Т7.	UA.	UG	. US.	UZ.	VN,	YU,	ZA,	ZW		
	RW:	CH	CM	KE.	T.S.	MW.	SD.	SL.	SZ.	ΤZ	"UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	
		DK.	ES.	FI,	FR,	GB,	GR,	IE,	IT,	ΤO	, MC,	ИL,	PI,	SE,	BF,	BJ,	CF,	
		CC	CT	CM	CA	CN	CW	MT.	MR.	NF.	. SN.	TD.	TG					
EP	FD 1142884			A1		2001	1010		EP :	2000-	900I	67		2	0000	111		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		TE	СT	T.T	T.V	FT.	RO											
EP	1471	060			A1		2004	1027		EP	2004-	1497	5		2	0000	111	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	ΝL,	SE,	MC,	PT,	
		IE,	FI,	CY								- 405			_		111	
EP	EP 1475373			A1		2004	1110		EP	2004-	1497	4		27	0000	TTT		
	R:	AT,	BE,	CH,	DE,	DK,	, ES,	FR,	GB,	GR	, IT,	LI,	LU,	ΝL,	SE,	MC,	PT,	
		IE,	FI,	CY											,		700	
US	US 2002099047						2002	0725		US	2001-	8999	28		2	0010	709	
US	US 6528504						2003	0304								0000	100	
PRIORIT	IORITY APPLN. INFO.:										1999-							
										JP	1999-	3269			A 1	9990	100	
										JP	1999-	3270	·		A .	19990	1100	
										EP	2000-	9001	.67		A3 4	20000	1111	
									_	MO	2000-	JP71	•		W 4	20000	TTT	
OTHER S	HER SOURCE(S):					MARPAT 133:8955												

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<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. [I; A = Q, Q1, Q2; R = H, C1, (CH3)2N, CH30; R1 = CH30, N(CH3)2, H; R-R1 = OCH2O; n = 2, 3; ], salts, stereoisomers, and drug compns. containing I are prepared and are useful in the treatment or prevention of motor function disorder of digestive tract, particularly intestinal diseases including irritable bowel syndrome. Thus, the title compds. (R)-5,11-Dihydro-5-[1-(4-methoxyphenethyl)-piperidin-2-ylmethyl]dibenzo[b,e][1,4] oxazepine and (R)-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)-piperidin-2-ylmethyl]dibenzo[b, e][1,4]oxazepin

were prepared and tested. 281677-32-5P 281677-34-7P 281677-35-8P IT 281677-37-0P 281677-38-1P 281677-39-2P 281677-41-6P 281677-42-7P 281677-44-9P 281677-45-0P 281677-46-1P 281677-47-2P 281677-49-4P 281677-50-7P 281677-51-8P 281677-52-9P 281677-53-0P 281677-54-1P 281677-55-2P 281677-56-3P 281677-57-4P RL: BAC (biplogical activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of oxazepine derivs. and drugs containing the same) 281677-32-5 CAPLUS RNDibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-CN methoxyphenyl)ethyl]-2-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 281677-34-7 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2S)-1-[2-(3-methoxyphenyl)ethyl]-2-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 281677-35-8 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[3-(4-methoxyphenyl)propyl]-2-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 281677-37-0 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5-[[(2R)-1-[2-(4-chlorophenyl)ethyl]-2piperidinyl]methyl]-5,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN

281677-38-1 CAPLUS

Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1
Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1
(CA INDEX NAME) CN piperidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

281677-39-2 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-(4-CNmethoxyphenyl)ethyl]-3-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 281677-41-6 CAPLUS
CN Benzenamine, 4-[2-[(3R)-3-dibenz[b,e][1,4]oxazepin-5(11H)-yl-1pyrrolidinyl]ethyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 281677-42-7 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[3-(4-methoxyphenyl)propyl]-3-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

281677-44-9 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5-[(3R)-1-[2-(4-chlorophenyl)ethyl]-3-pyrrolidinyl]-5,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

HCl

281677-45-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(4-methoxyphenyl)ethyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME) CN

RN 281677-46-1 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(3-methoxyphenyl)ethyl]N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 281677-47-2 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[3-(4-methoxyphenyl)propyl]N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

281677-49-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(4-chlorophenyl)ethyl]-N-CN methyl-, monohydrochloride (9CI) (CA INDEX NAME)

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281677-50-7 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[4-CN (dimethylamino)phenyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

RN 281677-51-8 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[3-(dimethylamino)phenyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

RN 281677-52-9 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

281677-53-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2S)-1-[2-(3-methoxyphenyl)ethyl]-2-piperidinyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 281677-54-1 CAPLUS

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME) CN

281677-55-2 CAPLUS RN

Benzenamine, 4-[2-[(3R)-3-dibenz[b,e][1,4]oxazepin-5(11H)-yl-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

281677-56-3 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(4-methoxyphenyl)ethyl]-CN N-methyl- (9CI) (CA INDEX NAME)

281677-57-4 CAPLUS RN

Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(3-methoxyphenyl)ethyl]-CN N-methyl- (9CI) (CA INDEX NAME)

281677-61-0P 281677-64-3P 281677-70-1P ΙT 281677-73-4P 281677-85-8P 281677-93-8P 281678-00-0P 281678-02-2P 281678-03-3P 281678-04-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of oxazepine derivs. and drugs containing the same)

281677-61-0 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(3-CN methoxyphenyl)ethyl]-2-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

281677-64-3 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[3-(4-CN methoxyphenyl)propyl]-2-piperidinyl]methyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

281677-70-1 CAPLUS RN

Dibenz[b,e][1,4]oxazepine, 5-[[(2R)-1-[2-(4-chlorophenyl)ethyl]-2-CN piperidinyl]methyl]-5,11-dihydro- (9CI) (CA INDEX NAME)

10/724,179

RN 281677-73-4 CAPLUS
CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1piperidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 281677-85-8 CAPLUS CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3R)-1-[3-(4-methoxyphenyl)propyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 281677-93-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5-[(3R)-1-[2-(4-chlorophenyl)ethyl]-3-pyrrolidinyl]-5,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 281678-00-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[3-(4-methoxyphenyl)propyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 281678-02-2 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-(4-chlorophenyl)ethyl]-Nmethyl- (9CI) (CA INDEX NAME)

RN 281678-03-3 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[4-(dimethylamino)phenyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 281678-04-4 CAPLUS
CN Dibenz[b,e][1,4]oxazepine-5(11H)-ethanamine, N-[2-[3-(dimethylamino)phenyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

10/724,179

INDEX NAME)

Absolute stereochemistry.

● HCl

RN 281677-40-5 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[(3S)-1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/724,1/19

ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:194140 CAPLUS

FOCUMENT NUMBER: 130:223305

TITLE: Preparation and formulation of 5,11-

dihydrodibenz[b,e][1,4]oxazepine derivatives as

calcium antagonists

INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko;

Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT 1	. O		KIND DATE				APPLICATION NO.						DATE			
WO	WO 9912925					A1 19990318			1	wo 1	998-	JP40	19980910				
	W:	AL,	AM.	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK.	EE.	ES.	FI.	GB.	GE,	GH.	GM,	HR,	HU,	ID,	IL,	IS,	JP,	KE,	KG,
		KR.	K2.	LC.	LK.	LR.	LS.	LT.	LU.	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,
		NZ.	PI.	PT.	RO.	RU.	SD.	SE.	SG.	SI.	SK,	SL,	TJ,	TM,	TR,	TT,	UA,
		IIG	115	112	VN.	YII.	7.W .	AM.	A7.	BY.	KG,	KZ.	MD.	RU.	TJ.	TM	•
	DW.	CH,	GM	KE.	LS.	MW.	SD.	SZ.	UG.	ZW.	AT,	BE.	CH.	CY.	DE.	DK.	ES.
	144.										PT,						
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CA	CA 2304262			011,	GW, ML, MR, NE, AA 19990318				J,	CA 1	998-	2304	19980910				
					A1 19990329												
	AU 740878								•								
					A1 20000719					FD 1	998-	9418	19980910				
					B1 20030219					Dr 1		J410					
EP									CB	CD	IT,	T.T	NT.	SE	рπ	TE.	FT
		-						0315			.998 <b>-</b>						
	2328																
	6562				В1		2003	0513									
PRIORIT'	Y APP	LN.	INFO	.:							.997–						
											.997–					9970	
										wo 1	.998-	JP40	W 19980910				

OTHER SOURCE(S): MARPAT 130:223305

GI

The title compds. I [R1 - R5 = H, alkoxy, etc.; R6, R7 = H, hydroxy; Y1 = AB methylene, etc.] are prepared I are useful in the treatment or prevention of intestinal diseases such as gastrointestinal tract dyskinesia, in particular, irritable bowel syndrome. In an in vitro test for calcium antagonism using ileum, (R)-5,11-Dihydro-5-[1-[2-(4dimethylaminophenyl)ethyl]-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (II) in vitro showed IC50 of 35 nM; in an in vitro test for calcium antagonism using artery, II showed IC50 of 255 nM. I also showed high water solubility 221159-49-5P 221159-53-1P 221159-56-4P IT 221159-60-0P 221159-63-3P 221159-66-6P 221159-69-9P 221159-72-4P 221159-75-7P 221159-77-9P 221159-80-4P 221159-84-8P 221159-91-7P 221159-97-3P 221160-01-6P 221160-05-0P 221160-09-4P 221160-13-0P 221160-22-1P 221160-29-8P 221160-36-7P 221160-44-7P 221160-51-6P 221160-58-3P 221160-64-1P 221160-70-9P 221160-75-4P 221160-82-3P 221160-86-7P 221160-90-3P 221160-94-7P 221160-99-2P 221161-03-1P 221161-07-5P 221161-10-0P 221161-13-3P 221161-14-4P 221161-15-5P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of dihydrodibenzoxazepine derivs. as calcium antagonists)

Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-

pyrrolidinyl]ethyl]-N, N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

221159-49-5 CAPLUS

RN

CN

221159-53-1 CAPLUS RN

Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

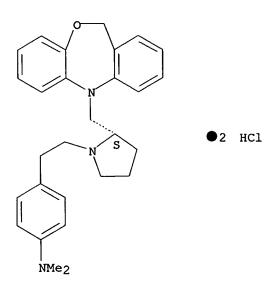
RN

221159-56-4 CAPLUS Benzenamine, 4-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CNpyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN

221159-60-0 CAPLUS
Benzenamine, 4-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.



RN 221159-63-3 CAPLUS

Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]ethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 221159-66-6 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221159-69-9 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 221159-72-4 CAPLUS

Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME) CN

1

Absolute stereochemistry.

RN 221159-75-7 CAPLUS

Benzenamine, 3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

10/724,179

RN 221159-77-9 CAPLUS

CN Benzenamine, 3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

RN 221159-80-4 CAPLUS

CN Benzenamine, 3-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

### 10/724,179

RN

221159-84-8 CAPLUS
Benzenamine, 3-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### ●2 HCl

RN 221159-91-7 CAPLUS

Benzenamine, 3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

# ●2 HC1

RN

221159-97-3 CAPLUS
Benzenamine, 3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

### ●2 HCl

RN 221160-01-6 CAPLUS

Benzenamine, 3-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME) CN

# ●2 HCl

RN

221160-05-0 CAPLUS Benzenamine, 2-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]- (9CI) (CA INDEX NAME)CN

Absolute stereochemistry.

RN 221160-09-4 CAPLUS

Benzenamine, 2-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 221160-13-0 CAPLUS

CN Benzenamine, 2-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221160-22-1 CAPLUS

CN Benzenamine, 5-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-2-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 221160-29-8 CAPLUS

CN Benzenamine, 5-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-2-methoxy-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

1. 1. F.

Absolute stereochemistry.

RN 221160-36-7 CAPLUS

CN Benzenamine, 5-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-2-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

RN 221160-44-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[3-(4-methoxyphenyl)propyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221160-51-6 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[3-(4-methoxyphenyl)propyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

#### ● HCl

RN 221160-58-3 CAPLUS

CN 1-Pyrrolidinepropanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)α-phenyl-, (αS,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221160-64-1 CAPLUS

CN 1-Pyrrolidinepropanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-α-phenyl-, monohydrochloride, (αS,2R)- (9CI) (CA INDEX NAME)

HCl

RN 221160-70-9 CAPLUS
CN 1-Pyrrolidinepropanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)α-phenyl-, (αR,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221160-75-4 CAPLUS
CN 1-Pyrrolidinepropanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-α-phenyl-, monohydrochloride, (αR,2R)- (9CI) (CA INDEX NAME)

● HCl

RN 221160-82-3 CAPLUS

CN 1-Pyrrolidineethanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-α-[(4-methoxyphenyl)methyl]-, (αR,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221160-86-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-(3-phenyl-2-propenyl)-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

Page 142

RN 221160-90-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-(3-phenyl-2-propenyl)-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

HC1

RN 221160-94-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenoxy)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221160-99-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenoxy)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

# ● HCl

RN

221161-03-1 CAPLUS
Benzenamine, 4-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N,N-dimethyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

221161-07-5 CAPLUS RN

Benzenamine, 4-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME) CN

#### ●2 HCl

RN 221161-10-0 CAPLUS

CN Benzenamine, 3-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221161-13-3 CAPLUS

CN Benzenamine, 3-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]propyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

#### 2 HC1

221161-14-4 CAPLUS RN

Benzenamine, 3-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

221161-15-5 CAPLUS Benzenamine, 3-[3-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]propyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

## ●2 HCl

IT 221161-19-9P 221161-20-2P 221161-21-3P 221161-24-6P 221161-25-7P 221161-26-8P 221161-29-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dihydrodibenzoxazepine derivs. as calcium antagonists)

RN 221161-19-9 CAPLUS

CN Carbamic acid, [4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221161-20-2 CAPLUS

CN Carbamic acid, [4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

RN 221161-21-3 CAPLUS

CN Carbamic acid, [3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221161-24-6 CAPLUS

CN Carbamic acid, [3-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/724,179

Absolute stereochemistry.

RN 221161-25-7 CAPLUS

Carbamic acid, [3-[2-[(2S)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-CN pyrrolidinyl]ethyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

221161-26-8 CAPLUS RN

Carbamic acid, [2-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl] ethyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX CNNAME)

RN 221161-29-1 CAPLUS

CN Carbamic acid, [5-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

INVENTOR(S):

ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:623166 CAPLUS

DOCUMENT NUMBER: 127:293256

TITLE: Preparation and formulation of 5,11-

dihydrodibenz[b,e][1,4]oxazepine derivatives for improving the motor function of the digestive tract Tanaka, Yuji; Misumi, Keiji; Kawakami, Yoshinari; Moriguchi, Masahiko; Takahashi, Kazuyoshi; Okamoto, Hiroki; Kamisaki, Toshiaki; Inoue, Kimihiro; Sato,

koto

Makoto

PATENT ASSIGNEE(S): Ajinomoto, Inc., Japan SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

								APPLICATION NO.						D.					
			Al 19970918				WO 1997-JP754												
	W:	AL,	AM.	AT.	AU,	AZ,	BA.	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,		
	•••	DK.	EE.	ES.	FI.	GB.	GE,	GH.	HU,	IL.	IS,	JP,	KE,	KG,	KR,	KZ,	LC,		
		LK.	LR.	LS.	LT.	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,		
		RO.	RU.	SD.	SE.	SG,	SI,	SK,	TJ,	TM,	TR,	TT,	UA,	UG,	US,	UΖ,	VN,	YU	
	RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,		
		GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,		
		N/T	MD	ATT	CN	m D	mc -												
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TW	4790		В		2002	0311		TW 1997-86102931					19970310						
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CN	1213	3371 5209			Α		1999	0407		CN 1	997-	1930	05		1	9970	311		
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BR	9707962				A 19990727					BR 1	997-	7962			19970311				
JP	R 9707962 P 3127469 T 204871 S 2159843				B2 20010122				JP 1	997-	5324	34		19970311 19970311					
AT	2048	871			E		2001	0915		AT 1	997-	9054	78		1	9970	311		
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		976			В1		2001												
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										US 1	998-	1470	12		A1 1	9980	911		
								~~~											

OTHER SOURCE(S): MARPAT 127:293256

GI

$$R^3$$
 $CH_2$ 
 $R^4$ 
 $R^5$ 
 $R^1$ 
 $R^2$ 
 $R^2$ 

The title compds. I [R1, R2 = H, halo, etc.; or R1R2 = O(CH2)nO; n = 1 - 3; R3 = H, OH; R4, R5 = H, OH; or R4R5 = O] are prepared I are calcium antagonists improving the motor function of the digestive tract. In an in vitro test for calcium antagonism using guinea pig ileum fragment, (R)-(+)-5,11-dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenz[b,e][1,4]oxazepine hydrochloride (II) showed IC50 of 85 nM; in the test for calcium antagonism using rat artery fragment, II showed IC50 of 200 nM. II showed no anticholinergic activity. II gave better improvement of the motor function of the digestive tract than nicardipine. In the test for hypotensive activity, II showed ED50 of > 1000 mg/kg p.o., vs. ED50 of 4 mg/kg p.o. for nicardipine.

17 195991-49-2P 195991-50-5P 195991-51-6P 195991-52-7P 195991-53-8P 195991-54-9P 195991-55-0P 195991-56-1P 195991-57-2P 195991-58-3P 195991-59-4P 195991-60-7P 195991-61-8P 195991-62-9P 195991-64-1P 195991-65-2P 195991-66-3P 195991-67-4P 195991-68-5P 195991-69-6P 195991-70-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydrodibenzoxazepine derivs. for improving the motor function of the digestive tract)

RN 195991-49-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

RN 195991-50-5 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[(2R)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 195991-51-6 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5-[[1-[2-(4-fluorophenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, (R)- (9CI) (CA INDEX NAME)

RN 195991-52-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5-[[1-[2-(4-fluorophenyl)ethyl]-2pyrrolidinyl]methyl]-5,11-dihydro-, monohydrochloride, (R)- (9CI) (CA
INDEX NAME)

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Absolute stereochemistry. Rotation (+).

RN 195991-53-8 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-(2-phenylethyl)-2-pyrrolidinyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

RN 195991-54-9 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-(2-phenylethyl)-2-pyrrolidinyl]methyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

### HCl

RN 195991-55-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, (R)- (9CI) (CA INDEX NAME)

RN 195991-56-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 195991-57-2 CAPLUS

CN Benzonitrile, 4-[2-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

RN 195991-58-3 CAPLUS

CN Benzonitrile, 4-[2-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 195991-59-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

RN 195991-60-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 195991-61-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-5,11-dihydro-, (S)- (9CI) (CA INDEX NAME)

RN 195991-62-9 CAPLUS
CN Dibenz[b,e][1,4]oxazepine, 5-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-2pyrrolidinyl]methyl]-5,11-dihydro-, monohydrochloride, (S)- (9CI) (CA
INDEX NAME)

5 B.

Absolute stereochemistry. Rotation (-).

RN 195991-64-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(3-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

RN 195991-65-2 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-{2-(3-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

HCl

RN 195991-66-3 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-(2-phenylethyl)-2-pyrrolidinyl]methyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

HC1

RN 195991-67-4 CAPLUS

CN 1-Pyrrolidineethanol, 2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-α-(4-methoxyphenyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 195991-68-5 CAPLUS

CN Ethanone, 2-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]-1-(4-methoxyphenyl)-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

HCl

RN 195991-69-6 CAPLUS

CN 3-Pyrrolidinol, 5-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-[2-(4-methoxyphenyl)ethyl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 195991-70-9 CAPLUS

CN 3-Pyrrolidinol, 5-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-[2-(4-methoxyphenyl)ethyl]-, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

IT 195991-74-3P 195991-75-4P 195991-80-1P 195991-81-2P 196710-93-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dihydrodibenzoxazepine derivs. for improving the motor function of the digestive tract)

RN 195991-74-3 CAPLUS

Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)-2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]-2-pyrrolidinyl]methyl]-, (2R)-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195991-75-4 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[1-[2-(4-methoxyphenyl)-2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]-2-pyrrolidinyl]methyl]-, (2S)-[partial]- (9CI) (CA INDEX NAME)

10/724,179

RN 195991-80-1 CAPLUS

CN Dibenz[b,e][1,4]oxazepine, 5,11-dihydro-5-[[4-(methoxymethoxy)-1-[2-(4-methoxyphenyl)ethyl]-2-pyrrolidinyl]methyl]-, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 195991-81-2 CAPLUS

CN 3-Pyrrolidinol, 5-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-[2-(4-methoxyphenyl)ethyl]-, (3R-cis)- (9CI) (CA INDEX NAME)

RN 196710-93-7 CAPLUS

CN 3-Pyrrolidinol, 5-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-[2-(4-methoxyphenyl)ethyl]-, benzoate (ester), (3S-trans)- (9CI) (CA INDEX NAME)

10/724,179

ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:6872 CAPLUS

DOCUMENT NUMBER: 124:105588

TITLE: Aminoacetyl Moiety as a Potential Surrogate for

Diacylhydrazine Group of SC-51089, a Potent PGE2

Antagonist, and Its Analogs

AUTHOR(S): Hallinan, E. Ann; Hagen, Timothy J.; Tsymbalov, Sofya;

Husa, Robert K.; Lee, Albert C.; Stapelfeld, Awilda;

Savage, Michael A.

CORPORATE SOURCE: Department of Chemistry, Skokie, IL, 60077, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(2), 609-13

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

8-Chlorodibenz[b,f][1,4]oxazepine-10(11H)-carboxylic acid,
2-[1-oxo-3-(4-pyridinyl)propyl]hydrazide, monohydrochloride (1, SC-51089)
is a functional PGE2 antagonist selective for the EP1 receptor subtype
with antinociceptive activity. During metabolism in cultured rat hepatocytes,
SC-51089, which contains a diacylhydrazine moiety, has been shown to
release hydrazine. Analogs of SC-51089, in which the diacylhydrazine
functionality has been replaced by isosteric and isoelectronic groups,
have been synthesized and have been shown to be analgesics and PGE2
antagonists of the EP1 subtype. This report discusses the
structure-activity relationships within these series.

IT 149435-18-7P 173100-20-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and analgesic and PGE2 antagonistic activity of SC-51089 antagonists)

RN 149435-18-7 CAPLUS

CN 4-Pyridinepropanamide, N-[2-(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 173100-20-4 CAPLUS CN 2-Pyridinepropanamide, N-[2-(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)-2-oxoethyl]- $\alpha$ ,  $\alpha$ -difluoro- $\beta$ -hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

10/7/24,179

ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:539280 CAPLUS

DOCUMENT NUMBER: 119:139280

TITLE: Preparation of substituted dibenzoxazepine compounds

and their use as analgenic agents and prostaglandin

antagonists

INVENTOR(S): Husa, Robert Knol; Hagen, Timothy Joseph; Hallinan, E.

Ann

PATENT ASSIGNEE(S): G.D. Searle and Co., USA SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.							KIND DATE			APPLICATION NO.						DATE			
	EP 539977						A1 19930505			1	EP 1	992-		19921029						
	R: PT US 5212169						A 19930518			1	US 1	1991-		19911031						
	CA 2114211					AA	A 19930513				CA 1	L992-:		19921002						
	WO	9309	105			A1		1993	0513	1	WO I	L992-1		19921002						
		W:	AT.	AU.	BB.	BG.	BR,	CA,	CH,	CS,	DE,	DK,	ES,	FI,	GB,	HU,	JP,	KP,		
		,	KR.	LK.	LU.	MG.	MN.	MW.	NL,	NO,	PL,	RO,	RU,	SD,	SE,	US				
		RW:	AT.	BE.	CH.	DE.	DK.	ES.	FR,	GB,	GR	IE,	IT,	LU,	MC,	NL,	SE,	BF,		
			BJ.	CF.	CG.	CI.	CM.	GA,	GN.	ML,	MR	SN,	TD,	TG						
	ΑH	9228	796	,	,	A1	A1 19930607				AU :	1992-		19921002						
	EP 610303						A1 19940817				EP :	1992-		19921002						
		R:	AT.	BE.	CH.	DE.	DK.	ES,	FR,	GB,	GR,	IE,	IT,	LI,	LU,	NL,	SE			
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		5382										1993-					9931	119		
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												1993-					9930			

OTHER SOURCE(S): MARPAT 119:139280

GI

AB Title compds. I (X = NH, CH2; Y = CH2 when X = NH, NH when X = CH2; R1 = H, halo, R40 wherein R4 = H, alkyl, alkyl-, arylcarbonyl, aminobenzyl; R2 = H, halo, F3C; R3 = H, aryl, halo, heteroaryl, (alkyl)amino; Z = O, S, SO, SO2, Me3CCON, NH; m, n 0-3; p = 0, 1) or a salt thereof, are prepared 1,3-Dihydro-1,3-dioxo-2H-isoindole-2-acetic acid was converted to the acid chloride, the product refluxed with 8-chloro-10,11-dihydrodibenz[b,f][1,4]oxazepine and Et3N to give the isoindolyldibenzoxazepine derivative which in 2 steps was converted to I (X = CH2, Y = NH, R1 = R3 = H, R2 = C1, Z = SO2, m = n = 2, p = 1) (II). II

was the most potent analgesic among the I tested.

IT 149435-18-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as analgesic and prostaglandin antagonist)

RN 149435-18-7 CAPLUS

CN 4-Pyridinepropanamide, N-[2-(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

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